

r	Hits	Search Text	DB	Time stamp
	2612	("514/256,266.1").CCLS	USPAT	2004/04/20
	1840	("544/242,253,283,293").CCLS	USPAT	2004/04/20
	337	((("514/256,266.1").CCLS) and ("544/242,253,283,293").CCLS)	USPAT	2004/04/20
	75	((("514/256,266.1").CCLS) and ("544/242,253,283,293").CCLS)) and quinazoline	USPAT	2004/04/20
	2	((("514/256,266.1").CCLS) and ("544/242,253,283,293").CCLS)) and 7-amino	USPAT	2004/04/20
	5	((("514/256,266.1").CCLS) and ("544/242,253,283,293").CCLS)) and quinazoline) and 4-amino	USPAT	2004/04/20

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and searchable
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in
CA/Caplus
NEWS 5 FEB 05 German (DE) application and patent publication number format
changes
NEWS 6 MAR 03 MEDLINE and LMedline reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
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NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
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AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004

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FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004

=> FIL STNGUIDE

COST IN U.S. DOLLARS

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TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004

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=> FIL HOME

COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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0.27

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 18 APR 2004 HIGHEST RN 676118-37-9

DICTIONARY FILE UPDATES: 18 APR 2004 HIGHEST RN 676118-37-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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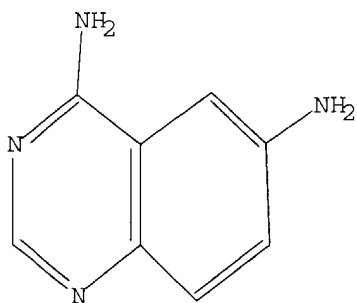
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 09:47:08 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3965 TO ITERATE

100.0% PROCESSED 3965 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

L2 20 SEA SSS FUL L1

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.90

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004

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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 16) (20040416/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES

(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6706759 16 MAR 2004

DE 10335606 11 MAR 2004

EP 1396268 10 MAR 2004

JP 2004095205 25 MAR 2004

WO 2004022766 18 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 09:47:20 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 2733 TO ITERATE

100.0% PROCESSED 2733 ITERATIONS

93 ANSWERS

SEARCH TIME: 00.00.13

L2 20 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
109.42	265.32

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004
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FILE COVERS 1907 - 20 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 19 Apr 2004 (20040419/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L4 70 L2

=> s 13

L5 93 L3

=> s 14 and 15

L6 3 L4 AND L5

=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:833347 CAPLUS
DN 135:358167
TI Preparation of peptides as thrombin inhibitors
IN Kikelj, Danijel; Peterlin, Lucija; Marinko, Petra; Breznik, Matej; Stregnar, Mojca; Trampuz, Bakija Alenka; Fortuna, Marjana
PA LEK Pharmaceutical & Chemical Co., Slovenia; University of Ljubljana; Browne, Robin Forsythe
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001085760	A1	20011115	WO 2001-GB1997	20010504
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DZ, EE, EG, ES, FI, GB, GR, GU, GM			

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

SI 20582 C 20011231 SI 2000-111 A 20000505
 EP 1287018 A2 20030305 EP 2001-925739 20010504
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003191139 A1 20031009 SI 2000-111 A 20000505
 WO 2001-GB1997 W 20010504
 US 2003-275215 20030131
 SI 2000-111 A 20000505
 WO 2001-GB1997 W 20010504

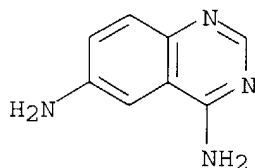
OS MARPAT 135:358167

IT **159382-23-7**, 4,6-Quinazolinediamine

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)

RN 159382-23-7 CAPLUS

CN 4,6-Quinazolinediamine (9CI) (CA INDEX NAME)

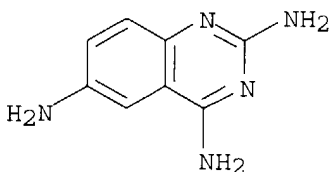


IT **13741-90-7P**, 2,4,6-Quinazolinetriamine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)

RN 13741-90-7 CAPLUS

CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



AB Compds. of D-CO-B-A-Het [Het is a heterocyclic moiety of defined structure, e.g., 5,6,7,8-tetrahydro-2-quinazolinamine, 4,5,6,7-tetrahydro-2H-indazol-2-ylamine, and 4,5,6,7-tetrahydro-1,3-benzothiazol-2-ylamine; A is CONH, CH2NH, CONHCH2, CH2NHCH2, CH2NHCONH, CH2NHCH2CONH, CH2NHCOCH2NH, CH2NHCONHCH2, CH2NHCH2CONHCH2 or CH2NHCOCH2NHCH2; B is 1,2-pyrrolidinediyl or 4-hydroxy derivative, 1,5-thiazolidinediyl, 1,2-piperidinediyl, NR3CHR4 (R3, R4 = H, C1-C4 alkyl, C3-C7 cycloalkyl); D is RCRdCH (Rc is NH2, alkylamino, hydroxyalkylamino, carbonylalkylamino, etc. R3 is H, C1-C4 alkyl, C3-C7 cycloalkyl, C1-C4 alkoxy, C3-C7 cycloalkoxy, C1-C4

acceptable salts were prepared as thrombin inhibitors. Thus,
 (2S)-N-(2-amino-4,5,6,7-tetrahydro-1,3-benzothiazol-6-yl)-1-[(2R)-2-
 [(benzylsulfonyl)amino]-3-cyclohexylpropanoyl]-2-pyrrolidinecarboxamide,
 prepared by coupling of N-(benzylsulfonyl)- β -cyclohexyl-D-Ala-L-Pro-OH
 with 4,5,6,7-tetrahydro-1,3-benzothiazole-2,6-diamine dihydrobromide,
 showed $K_i = 0.12$ and $>68.3 \mu\text{M}$ for inhibition of thrombin and trypsin,
 resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:467270 CAPLUS
 DN **125:168006**
 TI Preparation of 2,4-diaminoquinazolines as insecticides
 IN Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Lew, Albert
 C.; Chaguturu, Munirathnam K.; Ray, Partha S.; Yeager, Walter H.;
 Silverman, Ian R.; Buser, John W.; et al.
 PA FMC Corp., USA
 SO U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 149,491, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5534518	A	19960709	US 1994-267340	19940628
				US 1993-19389	19930218
				US 1993-149491	19931109
	ZA 9401038	A	19940825	ZA 1994-1038	19940215
				US 1993-19389	19930218
	US 5616718	A	19970401	US 1995-426541	19950420
				US 1993-19389	19930218
				US 1993-149491	19931109
				US 1994-267340	19940628
	US 5874579	A	19990223	US 1996-640610	19960501
				US 1993-19389	19930218
				US 1993-149491	19931109
				US 1994-267340	19940628

PATENT FAMILY INFORMATION:

FAN 1994:695126

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418980	A1	19940901	WO 1994-US1658	19940217
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1993-19389	19930218
				US 1993-149491	19931109
	ZA 9401038	A	19940825	ZA 1994-1038	19940215
				US 1993-19389	19930218
	AU 9462986	A1	19940914	AU 1994-62986	19940217
				US 1993-19389	19930218
				US 1993-149491	19931109
				WO 1994-US1658	19940217
	EP 694824	A1	19951206	EP 1994-016604	19940217

US 1993-19389 19930218
 US 1993-149491 19931109
 WO 1994-US1658 19940217

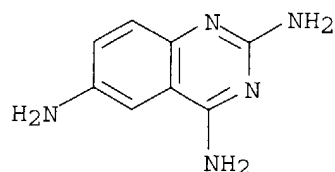
OS MARPAT 125:168006

IT **13741-90-7P**, 2,4,6-Quinazolinetriamine

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2,4-diaminoquinazolines as insecticides)

RN 13741-90-7 CAPLUS

CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)

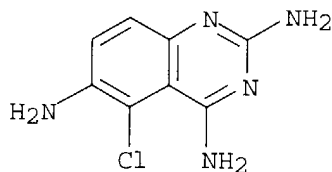


IT **17511-20-5P**

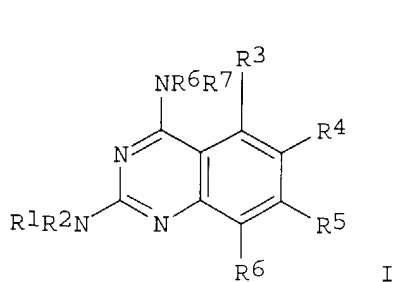
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 2,4-diaminoquinazolines as insecticides)

RN 17511-20-5 CAPLUS

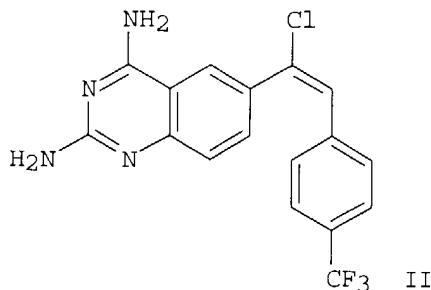
CN 2,4,6-Quinazolinetriamine, 5-chloro- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. [I; R1, R6 = H or alkyl; R2, R7 = H, alkyl, alkanoyl, alkoxy carbonyl, etc.; R1R2 = O-interrupted alkylene; R1R2, R6R7 = dialkylaminomethylene, pyrrolidinomethylene, etc.; R3, R5, R6 = H halo, alkyl, alkoxy, etc.; R4 = H halo, alkyl, alkoxy, substituted amide, etc.]

was converted in 4 steps to 2-amino-5-ethynyl-6-methylbenzonitrile which was arylated with 4-IC6H4CF3 and the product condensed with ClC(:NH)NH2.HCl to give title compound II which gave 90 and 100% kill of Trichoplusia ni and Spodoptera exigua, resp., at 30ppm foliar spray.

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:695126 CAPLUS
 DN **121:295126**
 TI Preparation of insecticidal substituted 2,4-diaminoquinazolines.
 IN Henrie, Robert Neil, II; Peake, Clinton Joseph; Cullen, Thomas Gerard; Lew, Albert C.; Chaguturu, Munirathnam Krishnappa; Ray, Partha Sarathi
 PA FMC Corp., USA
 SO PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9418980	A1	19940901	WO 1994-US1658	19940217
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1993-19389	19930218
				US 1993-149491	19931109
	ZA 9401038	A	19940825	ZA 1994-1038	19940215
				US 1993-19389	19930218
	AU 9462986	A1	19940914	AU 1994-62986	19940217
				US 1993-19389	19930218
				US 1993-149491	19931109
				WO 1994-US1658	19940217
	EP 684824	A1	19951206	EP 1994-910694	19940217
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI				
				US 1993-19389	19930218
				US 1993-149491	19931109
				WO 1994-US1658	19940217

PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN	1996:467270				
PI	US 5534518	A	19960709	US 1994-267340	19940628
				US 1993-19389	19930218
				US 1993-149491	19931109
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				US 1993-19389	19930218
	US 5616718	A	19970401	US 1995-426541	19950420
				US 1993-19389	19930218
				US 1993-149491	19931109
				US 1994-267340	19940628
	US 5874579	A	19990223	US 1996-640610	19960501
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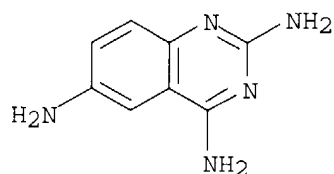
OS MARPAT 121:295126

IT 12741-90-72 2,4,6-Quinazolinotriammine 127511-90-75

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of insecticidal diaminoquinazolines)

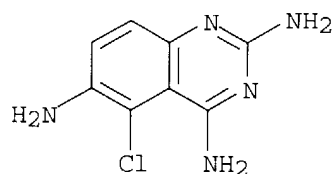
RN 13741-90-7 CAPLUS

CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



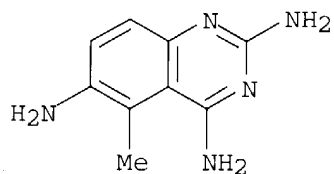
RN 17511-20-5 CAPLUS

CN 2,4,6-Quinazolinetriamine, 5-chloro- (9CI) (CA INDEX NAME)



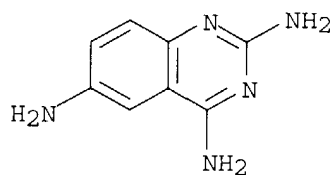
RN 17511-22-7 CAPLUS

CN 2,4,6-Quinazolinetriamine, 5-methyl- (9CI) (CA INDEX NAME)



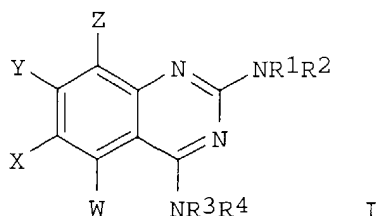
RN 159018-74-3 CAPLUS

CN 2,4,6-Quinazolinetriamine, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

GI



AB The title compds. I [R1= H, alkyl; R2,R3= R1, alkylcarbonyl, alkoxy carbonyl; R4 = H; R1R2= alkyleneoxyalkylene; W, Y, Z = H,, halo, (halo)alkyl, (halo)alkoxy, (un)substituted thienyl or aroyl, etc.; X = H, halo, (halo)alkyl, NHCH2C6H4CO2H-4, etc.] are prepared as insecticides. 2-Amino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]benzonitrile (preparation given) was reacted with chloroformamidine-HCl (preparation given) in diglyme, to yield 2,4-diamino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]quinazoline (II). Diets containing 4% II were lethal to the tobacco budworm (*Heliothis virescens*).

=> d his

(FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004)

FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE UPLOADED

L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004

L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004

L4 70 S L2

L5 93 S L3

L6 3 S L4 AND L5

=> s l4 and quinazoline

L7 47 L4 AND QUINAZOLINE

=> s l7 and 7-cycloalkyl

L8 0 L7 AND 7-CYCLOALKYL

=> s l7 and cycloalkyl

L9 0 L7 AND CYCLOALKYL

=> s l5 and cycloalkyl

L10 21 L5 AND CYCLOALKYL

=> s l10 and quinazoline

L11 7 L10 AND QUINAZOLINE

L12 1 L4 AND CYCLOALKYL

=> d l11 fbib hitstr abs total

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:454316 CAPLUS

DN 139:36536

TI Preparation of quinoline and **quinazoline** derivatives as inflammation modulators

IN Cushing, Timothy D.; He, Xiao; Smith, Marie-Louise; Degraffenreid, Michael R.; Powers, Jay; Tomooka, Craig S.; Clark, David L.; Hao, Xiaolin; Jaen, Juan C.; Labelle, Marc; Walker, Nigel P. C.; Gill, Adrian L.; Talamas, Francisco X.; Labadie, Sharada S.

PA Tularik Inc., USA; F. Hoffmann-La Roche AG

SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003048152	A2	20030612	WO 2002-US39134	20021204
	WO 2003048152	A3	20031016		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2003181472 A1 20030925

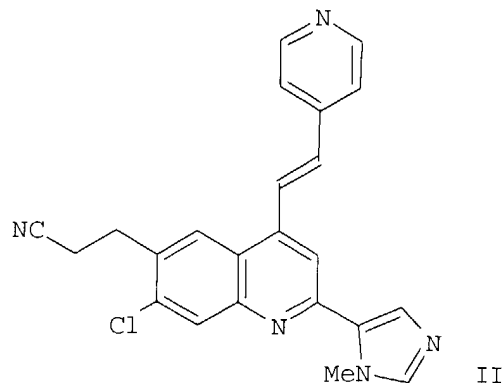
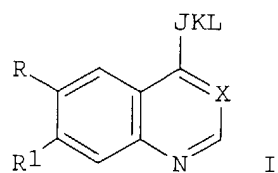
US 2001-337460PP 20011205

US 2002-314428 20021204

US 2001-337460PP 20011205

OS MARPAT 139:36536

GI



alkynylene, CO, C:S, (un)substituted C:NH, NH, CONH, CSNH, C(:NH)NH, CH:N, O, S, S(O), SO₂, alkylenamino, alkylenoxy; K = bond, alkylene, CO, CS, O, S, S(O), SO₂, (un)substituted C:NH, NH; L = H, (un)substituted OH, alkyl, heteroalkyl, aryl, heteroaryl, NH₂, acyl, thioacyl, CH:NH, carbamoyl, thiocarbamoyl, CO₂H; JK, JL, KL = heterocyclic; B = 5-6-membered heteroarom.; R, R1 = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, NH₂, **cycloalkyl**, heterocyclic, CN, NO₂, acyl, alkoxy carbonyl, CONH₂, SO₂NH₂] were prepared for use in the treatment of inflammatory, immunoregulatory, metabolic and cell proliferative conditions or diseases. Thus, 5-chloroisatin was iodinated, cyclized with 5-acetyl-1-methyl-2-tert.-butyldimethylsilylimidazole, substituted with CH₂:CHCN, reduced, and treated with 4-methylpyridine to give the quinoline II. I had IC₅₀ ≤ 30 μM for inhibition of IKKβ.

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:261684 CAPLUS

DN **138:287692**

TI Preparation of quinazolino- and quinolinoguanidines as ligands for neuropeptide FF (NPFF) receptors

IN Kawakami, Joel K.; Konkel, Michael J.; Boteju, Lakmal W.; Wetzell, John M.; Noble, Stewart A.; Wan, Honghe

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DT Patent

LA English

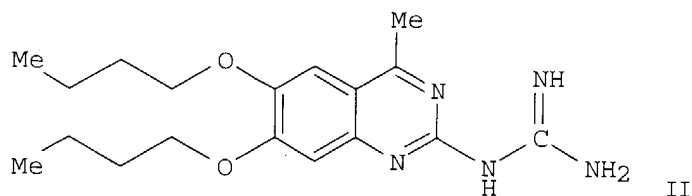
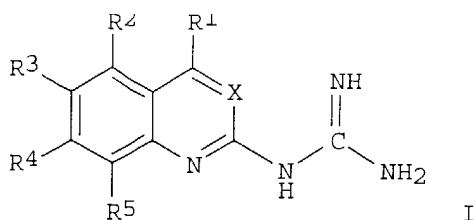
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003026667	A1	20030403	WO 2002-US30259	20020924
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US 2001-963129 A 20010924

OS MARPAT 138:287692

GI



AB Title compds. I [X = CH, C(CH₃), N; R₁-5 = H, alk(en/yn)yl, **cycloalkyl**, aryl, etc.] are prepared For instance, 1,2-dibutoxy-4-nitrobenzene was reduced (MeOH, Cu(OAc)₂, NaBH₄) to the corresponding aniline and reacted with acetone (MgSO₄, tert-butylcatechol, I₂) to give 6,7-dibutoxy-2,2,4-trimethyl-1,2-dihydroquinoline. This intermediate was reacted with cyanoguanidine (HCl_{aq}, reflux) to give II. II has K_i = 303 nM for the rat neuropeptide FF1 (rNPFF1) receptor and K_i = 1299 nM for the rNPFF2 receptor. I are useful for the treatment of pain and incontinence.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:33802 CAPLUS

DN **138:89822**

TI Preparation of **quinazolines** and metabotropic glutamate receptor antagonists

IN Itahana, Hirotune; Uekubo, Takashi; Nozawa, Shigenori; Kako, Hideki; Okada, Shoji; Totani, Atsushi

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 25 pp.

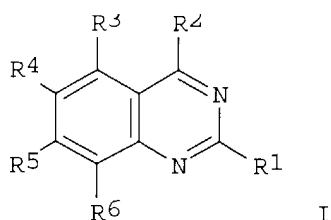
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003012653	A2	20030115	JP 2001-196750	20010628
				JP 2001-196750	20010628
OS	MARPAT 138:89822				
GI					



AB Metabotropic glutamate receptor antagonists comprise **quinazolines** I (R1 = H, halo, OH, lower alkyl, lower halogenoalkyl, etc.; R2 = XR7, N:R8; X = NR9, O, S, NR10CO, C(O), C(O)NR10, etc.; R7 = H, lower alkyl, (un)substituted, (un)bridged C6-10 **cycloalkyl**, (un)substituted saturated heterocyclyl; R8 = **cycloalkyl**; R9, R10 = H, lower alkyl; R7R9 may form (un)substituted saturated heterocyclyl; R3-R6 = halo, NO2, YR11; Y = single bond, O, NR12, S, lower alkylene, etc.; R11 = H, lower alkyl, heterocyclyl, aryl, **cycloalkyl**, etc.; R12 = H, lower alkyl) or their pharmaceutically acceptable salts. 4-Chloroquinazoline (150 mg) was treated with 187 mg thiomorpholine in the presence of CaCO₃ in DMF at 60° and treated with HCl for 3 h to give 190 mg 4-(thiomorpholin-1-yl)**quinazoline** hydrochloride. I (R1 = R3-R6 = H, R2 = cyclohexylmethylamino) showed inhibition activity against binding mGluR1 with (6-amino-N-cyclohexyl-N,3-dimethylthiazolo[3,2-a]benzimidazole-2-carboxamide) with IC₅₀ of 0.2 μm.

L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:240746 CAPLUS

DN **136:279468**

TI Preparation of 4-amino-**quinazolines** useful as glycoprotein IbIX antagonists, and their use for control of thrombotic disorders

IN Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Vickers, James; Cezanne, Bertram; Dhanoa, Daljit; Zhao, Bao-Ping; Rinker, James; Player, Mark R.; Jaeger, Edward; Soll, Richard

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024667	A1	20020328	WO 2001-EP10705	20010917
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	RW:				
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	AU 2001093817	A5	20020402	US 2000-666908 A	20000920
				AU 2001-93817	20010917
				US 2000-666908 A	20000920
				WO 2001-EP10705W	20010917
	EP 1318884	A1	20020618	EP 2001-074058	20010917

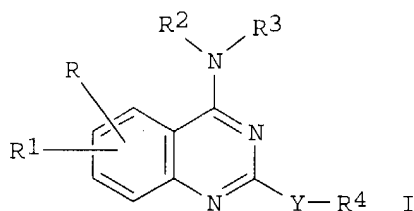
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001014020 A 20030722

JP 2004509876 T2 20040402

US 2000-666908 A 20000920
WO 2001-EP10705W 20010917
BR 2001-14020 20010917
US 2000-666908 A 20000920
WO 2001-EP10705W 20010917
JP 2002-529077 20010917
US 2000-666908 A 20000920
WO 2001-EP10705W 20010917

OS MARPAT 136:279468
GI



AB The preparation of 4-amino-**quinazolines** [I; wherein R, R1, independently = H, (C1-C6)alkyl, OH, (C1-C6)alkoxy, amino, nitro, cyano, etc.; R2,R3, independently = H, (C1-C6)alkyl, **cycloalkyl**, mono- or bicycloheterocyclic radical, etc.; R4 = aryl (e.g., Ph, naphthyl, biphenyl, etc.), or thiophen-2-yl substituted with aryl (as described supra) or heterocyclic radical, etc.; each of R, R1-R4 with many provisos] is described. Thus, [2-(4-bromophenyl)-7-chloroquinazolin-4-yl]-phenylamine was prepared by a multistep synthesis. The prepared compds. are useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders and sequelae deriving thereof.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:240745 CAPLUS

DN **136:279467**

TI Preparation of quinazolin-4-ylamines as glycoprotein IbIX antagonists.

IN Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Vickers, James; Cezanne, Bertram; Dhanoa, Daljit; Zhao, Bao-Ping; Rinker, James; Player, Mark R.; Jaeger, Edward; Soll, Richard

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

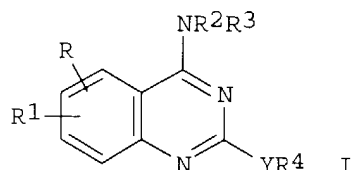
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PI	WO 2002024666	A2	20020328	WO 2001-EP10704	20010917
	WO 2002024666	A3	20020926		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002013923 A5 20020402 US 2000-666117 A 20000920
 AU 2002-13923 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 EP 1318985 A2 20030618 EP 2001-982300 20010917
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 BR 2001014021 A 20030819 BR 2001-14021 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 JP 2004509875 T2 20040402 JP 2002-529076 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 NO 2003001267 A 20030519 NO 2003-1267 20030319
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 US 2003-380909 20030320
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917

OS MARPAT 136:279467
 GI



AB Title compds. [I; R, R1 = H, alkyl, halo, amino, NO2, cyano, allyl, (substituted) Ph, etc.; R2, R3 = H, alkyl, **cycloalkyl**, (substituted) heterocyclyl, hydroxyalkyl, etc.; NR2R3 = (substituted) heterocyclyl; R4 = (substituted) aryl, heterocyclyl; Y = (CH:CH)n; n = 1, 2; with provisos], were prepared for treatment of thrombotic disorders (no data). Thus, 4-chloro-2-(2-naphthalen-1-ylvinyl)**quinazoline** and 1,3-bis(aminomethyl)cyclohexane were heated in EtOH at 80° for 3 h to give 4-[N-(3-aminomethylcyclohexylmethyl)amino]-2-(2-naphthalen-1-ylvinyl)**quinazoline**.

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:172597 CAPLUS

DN **130:209716**

TI Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics

IN Ueno, Kimihisa; Nomoto, Yuji; Takasaki, Kotaro; Yoshida, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi, Satoshi; Matsuda, Yumiko; Ueno,

PA Kyowa Hakko Kogyo Co., Ltd., Japan; et al.
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2

DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909986	A1	19990304	WO 1998-JP3711	19980821
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9887487	A1	19990316	JP 1997-225963	19970822
				AU 1998-87487	19980821
				JP 1997-225963	19970822
				WO 1998-JP3711	19980821
OS	MARPAT 130:209716				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkoxy, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl), etc.; or R1A may form together with R1B adjacent thereto O(CH2)nO (wherein n is 1 or 2); Cy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl; and A represents hydrogen or optionally substituted lower alkyl, optionally substituted **cycloalkyl**, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted heterocycle]. These compds. exhibited insulin secretion activity at high concentration of glucose (14.5 mM) but no substantial activity at low concentration of glucose (≤ 5 mM). For comparison, glubenclamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methoxy-2-[2-(E)-(2,4-dimethoxyphenyl)vinyl]**quinazoline** was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 ng/mL at 1 μ M under 14.5 mM glucose and 86 ng/mL at 10 μ M under 5 mM glucose in spleen β -cells (MIN6) as compared to that of 684 ng/mL at 0.1 μ M under 14.5 mM glucose and 317 ng/mL at 0.1 μ M under 5 mM glucose for glubenclamide.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:495543 CAPLUS

DN 119:95543

TI Preparation of annelated **quinazoline** derivatives as
acetylcholinesterase inhibitors for treatment of cognitive deficiency

IN Gregor Vlad Edward

SO PCT Int. Appl., 137 pp.

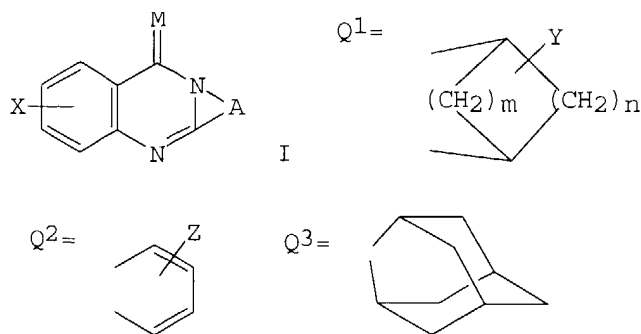
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9303034	A1	19930218	WO 1992-US5864	19920722
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	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
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				US 1992-911662	19920716
	CA 2113115	AA	19930218	CA 1992-2113115	19920722
				US 1991-736888	19910729
				US 1992-911662	19920716
	AU 9223978	A1	19930302	AU 1992-23978	19920722
	AU 665207	B2	19951221		
				US 1991-736888	19910729
				US 1992-911662	19920716
				WO 1992-US5864	19920722
	EP 597956	A1	19940525	EP 1992-916726	19920722
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				US 1991-736888	19910729
				US 1992-911662	19920716
				WO 1992-US5864	19920722
	HU 66324	A2	19941128	HU 1994-258	19920722
				US 1991-736888	19910729
				US 1992-911662	19920716
	CZ 281628	B6	19961113	CZ 1994-135	19920722
				US 1991-736888	19910729
				US 1992-911662	19920716
	ZA 9205660	A	19940128	ZA 1992-5660	19920728
				US 1991-736888	19910729
	FI 9400393	A	19940311	FI 1994-393	19940126
				US 1991-736888	19910729
				US 1992-911662	19920716
				WO 1992-US5864	19920722
	NO 9400305	A	19940328	NO 1994-305	19940128
				US 1991-736888	19910729
				US 1992-911662	19920716
				WO 1992-US5864	19920722
	US 5486512	A	19960123	US 1994-214911	19940317
				US 1991-736888	19910729
				US 1992-911662	19920716
OS	MARPAT 119:95543				
GI					



AB Title compds. I; A = null, Q1-Q3, etc.; m = 0-10; n = 1-10; M = O, S, NR, :CRR1, RR1; X = null, 1-4 of halo, alkyl, alkenyl, alkynyl, (unsatd.) **cycloalkyl**, heterocyclyl, (hetero)aryl; amino, NO2, alkylthio, perfluoroalkyl, perfluoroalkoxy, heteroarylcarbonyl, etc.; Y = H, OH, CO2H, alkoxy, alkyl, aryl, heteroaryl, keto, alkoxy carbonyl, alkanoyl, etc.; Z = H, halo, alkyl, alkenyl, alkynyl, (unsatd.) **cycloalkyl**, heterocyclyl, heteroaryl, SH, OH, CO2H, carboalkoxy, alkoxy, perfluoroalkyl, perfluoroalkoxy, etc.; R, R1 = H, OH, alkyl, alkenyl, alkynyl, OH, alkoxy, aryl, aryloxy, arylalkyl, heteroaryl, heteroarylalkyl; RR1 = atoms to form a 3-6 membered (heterocyclic) ring], were prepared Thus, 4-chloroanthranilic acid was refluxed with 1-aza-2-methoxy-1-cycloheptene in C6H6 with azeotropic removal of H2O to give 76.7% 3-chloro-6,7,8,9-tetrahydroazepino[2,1-b]quinazolin-12(6H)-one. This was heated with Zn/HOAc/HCl to give 3-chloro-6,7,8,9,10,12-hexahydroazepino[2,1-b]**quinazoline**. This inhibited human red blood cell acetylcholinesterase with IC50 = 500 nM.

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FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE UPLOADED

L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004

L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004

L4 70 S L2

L5 93 S L3

L6 3 S L4 AND L5

L7 47 S L4 AND QUINAZOLINE

L8 0 S L7 AND 7-CYCLOALKYL

L9 0 S L7 AND CYCLOALKYL

L10 21 S L5 AND CYCLOALKYL

L11 7 S L10 AND QUINAZOLINE

L12 1 S L4 AND CYCLOALKYL

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'ANS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
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BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

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FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE UPLOADED
L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004

L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004

L4 70 S L2
L5 93 S L3
L6 3 S L4 AND L5
L7 47 S L4 AND QUINAZOLINE
L8 0 S L7 AND 7-CYCLOALKYL
L9 0 S L7 AND CYCLOALKYL
L10 21 S L5 AND CYCLOALKYL
L11 7 S L10 AND QUINAZOLINE
L12 1 S L4 AND CYCLOALKYL

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YOU HAVE RECEIVED 8 CONSECUTIVE ARROW PROMPTS (=>)

The arrow (=>) is the system prompt, where you enter a command. For an explanation of system commands, files, formats, etc., enter "HELP" and the name of the item you want explained at an arrow prompt (=>). Enter "HELP COMMANDS" for a list of commands that can be used in this file. Enter "HELP MESSAGES" for a list of online explanations that are available. The "?" can be used as a synonym for "HELP".

Help is also available at any prompt and after any error message

After an error message, enter "HELP" or "?" at the next prompt and you will receive a more detailed explanation of the error and how to correct it.

Automatic help is also available. When AUHELP is 'ON', you will automatically receive help following an error message. For more information on AUHELP, enter "HELP SET AUHELP" at an arrow prompt (=>).

Users who need additional assistance can contact the Help Desk at their nearest STN Service Center. Enter "HELP STN" for information on STN Service Centers. You may also choose to contact the database representative for the file you are searching, for more detailed help on database content and search strategy. For information on how to contact database representatives for the current file, enter "HELP DESK" at an arrow prompt (=>).

IF YOU REQUIRE FURTHER HELP, PLEASE CONTACT YOUR LOCAL HELP DESK
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(FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004)

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE UPLOADED
L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004

L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004

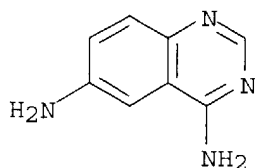
L4 70 S L2
L5 93 S L3
L6 3 S L4 AND L5
L7 47 S L4 AND QUINAZOLINE
L8 0 S L7 AND 7-CYCLOALKYL
L9 0 S L7 AND CYCLOALKYL
L10 21 S L5 AND CYCLOALKYL
L11 7 S L10 AND QUINAZOLINE
L12 1 S L4 AND CYCLOALKYL

=> d l12 fbib hitstr abs total

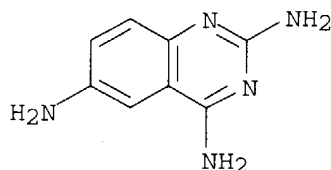
L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:833347 CAPLUS
DN 135:358167
TI Preparation of peptides as thrombin inhibitors
IN Kikelj, Danijel; Peterlin, Lucija; Marinko, Petra; Breznik, Matej;
Stregnar, Mojca; Trampuz, Bakija Alenka; Fortuna, Marjana
PA LEK Pharmaceutical & Chemical Co., Slovenia; University of Ljubljana;
Browne, Robin Forsythe
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001085760	A1	20011115	WO 2001-GB1997	20010504
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				SI 2000-111	A 20000505
	SI 20582	C	20011231	SI 2000-111	20000505
	EP 1287018	A2	20030305	EP 2001-925739	20010504
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
				SI 2000-111	A 20000505
				WO 2001-GB1997 W	20010504
	US 2003191139	A1	20031009	US 2003-275215	20030131
				SI 2000-111	A 20000505

OS MARPAT 135:358167
 IT **159382-23-7**, 4,6-Quinazolinediamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)
 RN 159382-23-7 CAPLUS
 CN 4,6-Quinazolinediamine (9CI) (CA INDEX NAME)



IT **13741-90-7P**, 2,4,6-Quinazolinetriamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)
 RN 13741-90-7 CAPLUS
 CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



AB Compds. of D-CO-B-A-Het [Het is a heterocyclic moiety of defined structure, e.g., 5,6,7,8-tetrahydro-2-quinazolinamine, 4,5,6,7-tetrahydro-2H-indazol-2-ylamine, and 4,5,6,7-tetrahydro-1,3-benzothiazol-2-ylamine; A is CONH, CH2NH, CONHCH2, CH2NHCH2, CH2NHCONH, CH2NHCH2CONH, CH2NHCOCH2NH, CH2NHCONHCH2, CH2NHCH2CONHCH2 or CH2NHCOCH2NHCH2; B is 1,2-pyrrolidinediyl or 4-hydroxy derivative, 1,5-thiazolidinediyl, 1,2-piperidinediyl, NR3CHR4 (R3, R4 = H, C1-C4 alkyl, C3-C7 **cycloalkyl**); D is R_cR_dCH (R_c is NH2, alkylamino, hydroxyalkylamino, carboxyalkylamino, etc.; R_d is H, CH2OH, CH2SH, alkyl, cycloalkylalkyl, heterocyclalkyl, arylalkyl)] or their pharmaceutically acceptable salts were prepared as thrombin inhibitors. Thus, (2S)-N-(2-amino-4,5,6,7-tetrahydro-1,3-benzothiazol-6-yl)-1-[(2R)-2-[(benzylsulfonyl)amino]-3-cyclohexylpropanoyl]-2-pyrrolidinecarboxamide, prepared by coupling of N-(benzylsulfonyl)-β-cyclohexyl-D-Ala-L-Pro-OH with 4,5,6,7-tetrahydro-1,3-benzothiazole-2,6-diamine dihydrobromide, showed K_i = 0.12 and >68.3 μM for inhibition of thrombin and trypsin, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FILE ESTIMATED COST

66 07

221 20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

ENTRY

SESSION

-7.62

-7.62

STN INTERNATIONAL LOGOFF AT 09:52:56 ON 20 APR 2004